

CLAIMS

1. An (S)-secondary alcohol of formula (VIII A)



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where:

(I)  $R_N$  is  $C_1-C_5$  alkyl;

(II)  $X_2$  is:

(A) -Cl,

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(B) -Br,

(C)  $p-CH_3-\phi-SO_2-$ ,

(D)  $m-NO_2-\phi-SO_2-$ .

2. An (S)-secondary alcohol (VIII A) according to claim 1 where  $R_N$  is  $C_1$  alkyl.

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3. An (S)-secondary alcohol (VIII A) according to claim 1 where  $X_2$  is -Cl.

4. An (S)-secondary alcohol (VIII A) according to claim 1 which is selected from the group consisting of (S)-1-acetamido-2-hydroxy-3-chloropropane.

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5. An (S)-epoxide of formula (VIII B)



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where:

(I) where  $R_N$  is  $C_1-C_5$  alkyl;

(II) where # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring.

- 30 6. An (S)-epoxide (VIII B) according to claim 5 where  $R_N$  is  $C_1$  alkyl.

7. An (S)-epoxide (VIII B) according to claim 5 which is selected from the group consisting of (S)-glycidylacetamide.

- 35 8. An (S)-ester of formula (VIII C)



where:

(I) where  $R_N$  is  $C_1-C_5$  alkyl;

5 (II) where  $X_2$  is:

(A) -Cl,

(B) -Br,

(C)  $p-CH_3-\phi-SO_2-$ ,

(D)  $m-NO_2-\phi-SO_2-$ .

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9. An (S)-ester (VIII C) according to claim 8 where  $R_N$  is  $C_1$  alkyl.

10. An (S)-ester (VIII C) according to claim 8 where  $X_2$  is -Cl.

15 11. An (S)-epoxide (VIII C) according to claim 8 which is (S)-1-acetamido-2-acetoxy-3-chloropropane.

12. A compound selected from the group consisting of:

(1) an (S)-protected alcohol of the formula (IV A)

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where:

(I)  $X_0$  is:

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(A) - $\phi$ ,

(B) *o*-hydroxyphenyl,

(C) *o*-methoxyphenyl,

(D) *p*-methoxyphenyl;

(II)  $X_2$  is:

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(A) -Cl,

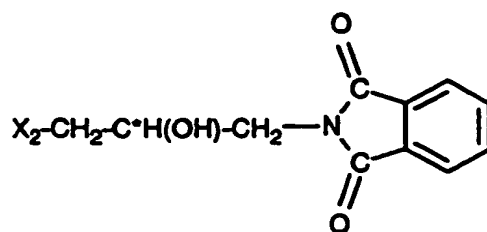
(B) -Br,

(C)  $p-CH_3-\phi-SO_2-$ ,

(D)  $m-NO_2-\phi-SO_2-$ ;

(2) an (S)-phthalimide alcohol of the formula (IVC)

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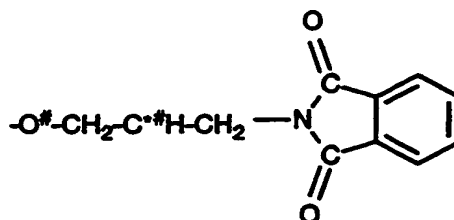
(IVC)

where:

(A)  $X_2$  is as defined above;

(3) an (S)-phthalimide epoxide of the formula (IVD)

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(IVD)

15

where:

(A) where # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

(4) an (S)-imine of glycidylamine of the formula (IVB)



(IVB)

25 where where  $X_0$  and # are as defined above.

13. An (S)-compound according to claim 12 where  $X_0$  is - $\phi$  or o-hydroxyphenyl and  $X_2$  is -Cl.

30 14. An (S)-compound according to claim 12 which is  
(S)-1-benzalimino-3-chloro-2-propanol and  
(S)-1-phthalimido-3-chloro-2-propanol.

15. An (S)-intermediate of the formula (XV)

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(XV)

where:

(I)  $R_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group;

(II)  $R_N$  is  $C_1$ - $C_5$  alkyl;

(III)  $X_2$  is:

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(A) -Cl,

(B) -Br,

(C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,

(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$ .

10 16. An (S)-intermediate according to claim 15 where  $R_{\text{oxa}}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,

3-fluoro-4-(4-morpholinyl)phenyl and

3-fluoro-4-(4-hydroxyacetyl)piperazinyl]phenyl.

15 17. An (S)-intermediate according to claim 15 where  $R_N$  is  $C_1$  alkyl.

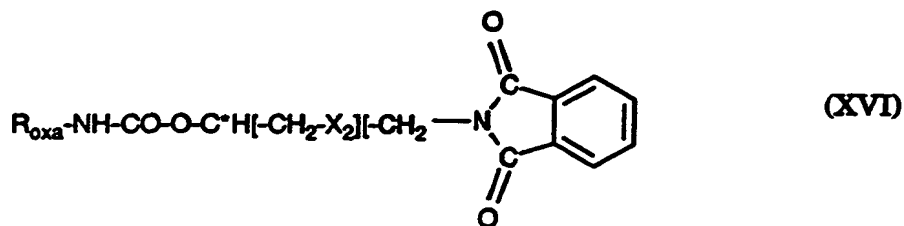
18. An (S)-intermediate according to claim 15 where  $X_2$  is -Cl.

19. An (S)-intermediate according to claim 15 where the intermediate is

20 (S)-N-carbo(1'-acetamido-3'-chloro-2'-propoxy)-3-fluoro-4-morpholinylanilin .

20. An (S)-oxazolidinone phthalamide intermediate of the formula (XVI)

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where:

(I)  $R_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group;

(II)  $X_2$  is:

(A) -Cl,

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(B) -Br,

(C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,

(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$ .

21. An oxazolidinone phthalamide intermediate (XVI) according to claim 21 where  $R_{Oxa}$  is:

5                    3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
                     3-fluoro-4-(4-morpholinyl)phenyl and  
                     3-fluoro-4-(4-hydroxyacetyl)piperazinyl]phenyl.

22. An oxazolidinone phthalamide intermediate (XVI) according to claim 21 where  
10 X<sub>2</sub> is -Cl.

**23. A process for the preparation of a (S)-3-carbon amino alcohol of the formula (V)**

$$15 \quad X_2-CH_2-C^*H(OH)-CH_2-NH_3^+ \quad (V)$$

where  $X_2$  is:

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(A) -Cl,  
(B) -Br,  
(C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,  
(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$  which comprises:  
(1) contacting a non-nitrogen adduct of formula (I)

$$\text{O}=\text{CH}-\text{X}_0 \quad - \quad \cdot \quad \quad \quad (\text{I})$$

25  
- where  $X_0$  is:

30 (A)  $-\phi$ ,  
(B) *o*-hydroxyphenyl,  
(C) *o*-methoxyphenyl,  
(D) *p*-methoxyphenyl;

with aqueous ammonia (II) in the presence of an (S)-protected-epoxide of formula (III)

$$\text{X}_2\text{-CH}_2\text{-C}^{\#}\text{H-CH}_2\text{-O}^{\#}. \quad (\text{III})$$

**where:**

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

(II)  $X_2$  is as defined above,

(2) contacting the reaction mixture of step (1) with acid.

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24. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 23 where  $X_2$  is -Cl.

25. A process for the preparation of a (S)-3-carbon amino alcohol (V) according to claim 23 where the 3-carbon amino alcohol (V) is (S)-1-amino-3-chloro-2-propan 1 hydrochloride.

26. A process for the preparation of an (S)-3-carbon amino alcohol of the formula (V)



where:

(I)  $X_2$  is:

(A) -Cl,

20 (B) -Br,

(C)  $p-CH_3-\phi-SO_2-$ ,

(D)  $m-NO_2-\phi-SO_2-$  which comprises:

(1) contacting phthalimide (VI)

25 with an (S)-protected-epoxide of formula (III)

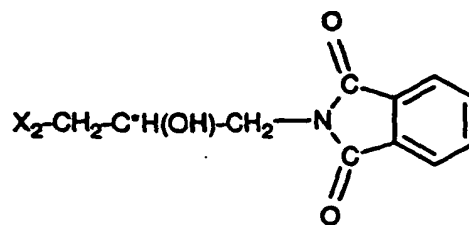


30 in the presence of potassium phthalamide in DMF or DMAC where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

(II)  $X_2$  is as defined above; to give an (S)-phthalimide alcohol of formula (IVC)

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(IVC)

where  $X_2$  is as defined above and

10 (2) contacting the product of step (1) with aqueous acid.

27. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 26 where  $X_2$  is -Cl.

15 28. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 26 where the (S)-3-carbon amino alcohol is (S)-1-amino-3-chloro-2-propanol hydrochloride.

20 29. A process for the preparation of a secondary alcohol of the formula (VIII A)



where:

(I)  $X_2$  is:

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(A) -Cl,

(B) -Br,

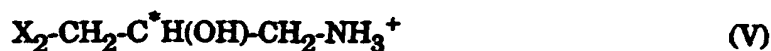
(C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,

(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$ ;

(II)  $R_N$  is  $C_1\text{-}C_5$  alkyl;

30 which comprises:

(1) contacting an (S)-3-carbon amino alcohol of the formula (V)



35 where  $X_2$  is as defined above with an acylating agent selected from the group consisting of an acid anhydride of the formula  $O(CO-R_N)$  where  $R_N$  is as defined

above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $C_1\text{-C}_5$ .

30. A process for the preparation of a secondary alcohol of the formula (VIII A)  
5 according to claim 29 where the tri(alkyl)amine is triethylamine.

31. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  of formula (X)



where:

- (I)  $R_N$  is  $C_1\text{-C}_5$  alkyl;  
15 (II)  $R_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group;  
which comprises:

(1) contacting a carbamate of formula (IX)



where:

(I)  $X_1$  is:

- (A)  $C_1\text{-C}_{20}$  alkyl,  
(B)  $C_3\text{-C}_7$  cycloalkyl,  
25 (C)  $\phi$ - optionally substituted with one or two:  
(1)  $C_1\text{-C}_3$  alkyl,  
(2) F-, Cl-, Br-, I-,  
(D)  $\text{CH}_2=\text{CH-CH}_2\text{-}$ ,  
(E)  $\text{CH}_3\text{-CH=CH-CH}_2\text{-}$ ,  
30 (F)  $(\text{CH}_3)_2\text{C=CH-CH}_2\text{-}$ ,  
(G)  $\text{CH}_2=\text{CH-}$ ,  
(H)  $\phi\text{-CH=CH-CH}_2\text{-}$ ,  
(I)  $\phi\text{-CH}_2\text{-}$  optionally substituted on  $\phi$ - with one or two -Cl,  $C_1\text{-C}_4$   
alkyl,  $\text{-NO}_2$ ,  $\text{-CN}$ ,  $\text{-CF}_3$ ,  
35 (J) 9-fluorenylmethyl,  
(K)  $(\text{Cl})_3\text{C-CH}_2\text{-}$ ,



(L) 2-trimethylsilylethyl,

(M)  $\phi$ -CH<sub>2</sub>-CH<sub>2</sub>-,

(N) 1-adamantyl,

(O)  $(\phi)_2$ CH-,

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(P) CH<sub>2</sub>=C-C(CH<sub>3</sub>)<sub>2</sub>-,

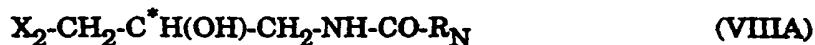
(Q) 2-furanylmethyl,

(R) isobornyl,

(S) -H;

(II) R<sub>oxa</sub> is as defined above; with an oxygenated amino reagent selected from  
10 the group consisting of:

(1) an (S)-secondary alcohol of the formula (VIII A)



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where:

(I) X<sub>2</sub> is:

(A) -Cl,

(B) -Br,

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(C) *p*-CH<sub>3</sub>- $\phi$ -SO<sub>2</sub>-,

(D) *m*-NO<sub>2</sub>- $\phi$ -SO<sub>2</sub>-;

(II) R<sub>N</sub> is as defined above;

or an (S)-epoxide of the formula (VIII B)

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where:

(I) # indicates that the atoms marked with a (#) are bonded to each other  
resulting in the formation of a ring;

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(II) R<sub>N</sub> is as defined above;

or an (S)-ester of the formula (VIII C)



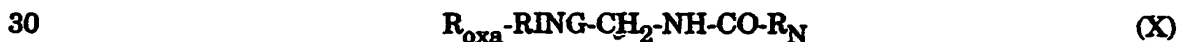
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where:

(I) R<sub>N</sub> and X<sub>2</sub> are as defined above;

in the presence of a lithium cation and a base whose conjugate acid has a  $pK_a$  of greater than about 8.

32. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 5 according to claim 31 where  $R_{oxa}$  is:  
     3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
     3-fluoro-4-(4-morpholinyl)phenyl and  
     3-fluoro-4-(4-hydroxyacetyl piperazinyl)phenyl.
- 10 33. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 according to claim 31 where  $R_N$  is  $C_1$  alkyl.
34. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 according to claim 31 where  $X_1$  is -H.
- 15 35. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 according to claim 31 where  $X_2$  is -Cl.
36. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 20 according to claim 31 where the oxygenated amino reagent is a (S)-secondary alcohol  
 (VIII A) or (S)-epoxide (VIII B).
37. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X)  
 according to claim 31 where the (S)-oxazolidinone- $CH_2-NH-CO-R_N$  (X) is (S)-N-[[3-(3-  
 25 fluoro-4-morpholinylphenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide.
38. A process for the production of an (S)-oxazolidinone- $CH_2-NH-CO-R_N$  of formula  
 (X)



where:

(I)  $R_N$  is  $C_1-C_5$  alkyl;

(II)  $R_{oxa}$  is phenyl substituted with one -F and on substituted amino group

35 which comprises:

(1) contacting a carbamate of formula (IX)



(IX)

where:

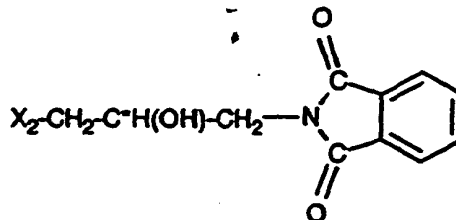
(I)  $X_1$  is:

- 5 (A)  $C_1\text{-}C_{20}$  alkyl,  
 (B)  $C_3\text{-}C_7$  cycloalkyl,  
 (C)  $\phi$ - optionally substituted with one or two:  
     (1)  $C_1\text{-}C_3$  alkyl,  
     (2) F-, Cl-, Br-, I-,  
 10 (D)  $\text{CH}_2\text{=CH-CH}_2\text{-}$ ,  
 (E)  $\text{CH}_3\text{-CH=CH-CH}_2\text{-}$ ,  
 (F)  $(\text{CH}_3)_2\text{C=CH-CH}_2\text{-}$ ,  
 (G)  $\text{CH}_2\text{=CH-}$ ,  
 (H)  $\phi\text{-CH=CH-CH}_2\text{-}$ ,  
 15 (I)  $\phi\text{-CH}_2\text{-}$  optionally substituted on  $\phi$ - with one or two -Cl,  $C_1\text{-}C_4$   
 alkyl,  $\text{-NO}_2$ ,  $\text{-CN}$ ,  $\text{-CF}_3$ ,  
 (J) 9-fluorenylmethyl,  
 (K)  $(\text{Cl})_3\text{C-CH}_2\text{-}$ ,  
 (L) 2-trimethylsilylethyl,  
 20 (M)  $\phi\text{-CH}_2\text{-CH}_2\text{-}$ ,  
 (N) 1-adamantyl,  
 (O)  $(\phi)_2\text{CH-}$ ,  
 (P)  $\text{CH=C-C(CH}_3)_2\text{-}$ ,  
 (Q) 2-furanylmethyl,  
 25 (R) isobornyl,  
 (S) -H;

(II)  $R_{\text{oxa}}$  is as defined above; with a phthalimide reagent selected from the group consisting of:

(1) a phthalimide alcohol of the formula (IVC)

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(IVC)

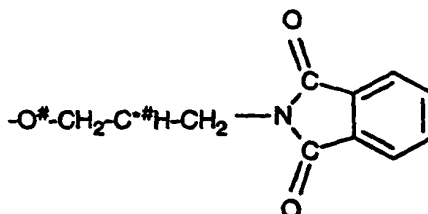
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where:

(I)  $X_2$  is:

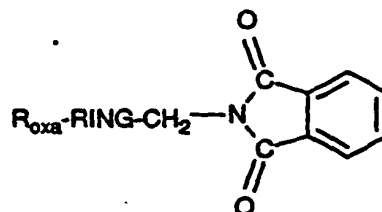
- (A) -Cl,
- (B) -Br,
- (C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,
- (D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$ ;

(2) a phthalimide epoxide of the formula (IVD)



(IVD)

where # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring to give the ring-phthalimide compound of formula (XI)



(XI)

where  $R_{\text{oxa}}$  is as defined above, in the presence of a lithium cation and a base whose conjugate acid has a  $\text{pK}_a$  of greater than about 8,

(2) contacting the product of step (1) with aqueous acid,

(3) contacting the reaction mixture of step (2) with an acid anhydride of the formula  $\text{O}(\text{CO-R}_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $\text{C}_1\text{-C}_5$ .

39. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 38 where  $R_{\text{oxa}}$  is:

- 3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,
- 3-fluoro-4-(4-morpholinyl)phenyl and
- 3-fluoro-4-(4-hydroxyacetyl piperazinyl)phenyl

40. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where R<sub>N</sub> is C<sub>1</sub> alkyl.

41. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where X<sub>1</sub> is -H.

42. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where X<sub>2</sub> is -Cl.

43. A process for the production of an (S)-R<sub>oxa</sub>-RING-CH<sub>2</sub>-NH-CO-R<sub>N</sub> of the formula (X)



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where:

(I) R<sub>N</sub> is C<sub>1</sub>-C<sub>5</sub> alkyl;

(II) R<sub>oxa</sub> is phenyl substituted with one -F and one substituted amino group which comprises:

(1) contacting a carbamate of the formula (IX)



where:

(I) X<sub>1</sub> is

(A) C<sub>1</sub>-C<sub>20</sub> alkyl,

(B) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) φ- optionally substituted with one or two:

(1) C<sub>1</sub>-C<sub>3</sub> alkyl,

(2) F-, Cl-, Br-, I-,

(D) CH<sub>2</sub>=CH-CH<sub>2</sub>-,

(E) CH<sub>3</sub>-CH=CH-CH<sub>2</sub>-,

(F) (CH<sub>3</sub>)<sub>2</sub>C=CH-CH<sub>2</sub>-,

(G) CH<sub>2</sub>=CH-,

(H) φ-CH=CH-CH<sub>2</sub>-,

(I) φ-CH<sub>2</sub>- optionally substituted on φ- with one or two -Cl, C<sub>1</sub>-C<sub>4</sub>

alkyl, -NO<sub>2</sub>, -CN, -CF<sub>3</sub>,

(J) 9-fluorenylmethyl,

(K) (Cl)<sub>3</sub>C-CH<sub>2</sub>-,

(L) 2-trimethylsilylethyl,

5 (M)  $\phi$ -CH<sub>2</sub>-CH<sub>2</sub>-,

(N) 1-adamantyl,

(O) ( $\phi$ )<sub>2</sub>CH-,

(P) CH<sub>2</sub>=C-C(CH<sub>3</sub>)<sub>2</sub>-,

(Q) 2-furanylmethyl,

10 (R) isobornyl,

(S) -H;

(II) R<sub>oxa</sub> is as defined above; with a compound selected from the group consisting of a (S)-protected alcohol of the formula (IVA)



where:

(I) X<sub>0</sub> is:

(A) - $\phi$ ,

20 (B) o-hydroxyphenyl,

(C) o-methoxyphenyl,

(D) p-methoxyphenyl;

(II) X<sub>2</sub> is:

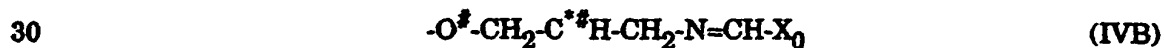
(A) -Cl,

25 (B) -Br,

(C) p-CH<sub>3</sub>- $\phi$ -SO<sub>2</sub>-,

(D) m-NO<sub>2</sub>- $\phi$ -SO<sub>2</sub>-;

and a (S)-3-carbon protected epoxide of the formula (IVB)



where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring,

35 (II) X<sub>0</sub> is as defined above in the presence of a lithium cation and a base whose conjugate acid has a pK<sub>a</sub> of greater than about 8 to produce a (S)-protected

oxazolidinone of the formula (XII)



where  $X_0$  and  $R_{\text{oxa}}$  are as defined above;

- 5 (2) contacting the reaction mixture of step (1) with aqueous acid to produce an (S)-oxazolidinone free amine of the formula (XIII) and



- 10 (3) contacting the product of step (2) with an acylating agent selected from the group consisting of an acid anhydride of the formula  $O(\text{CO-R}_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $C_1\text{-C}_5$  where  $R_{\text{oxa}}$  is as defined above.

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44. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $R_{\text{oxa}}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,

3-fluoro-4-(4-morpholinyl)phenyl and

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3-fluoro-4-(4-hydroxyacetyl)piperazinyl]phenyl.

45. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $R_N$  is  $C_1$  alkyl.

25 46. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_0$  is - $\phi$  or o-hydroxyphenyl.

47. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_1$  is -H.

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48. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_2$  is -Cl.

49. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  of the  
35 formula (X)



(X)

where:

(I)  $R_N$  is  $C_1\text{-}C_5$  alkyl;

5 (II)  $R_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group which comprises:

(1) contacting a carbamate of the formula (IX)



(IX)

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where:

(I)  $X_1$  is:

(A)  $C_1\text{-}C_{20}$  alkyl,

(B)  $C_3\text{-}C_7$  cycloalkyl,

15

(C)  $\phi$ - optionally substituted with one or two:

(1)  $C_1\text{-}C_3$  alkyl,

(2) F-, Cl-, Br-, I-,

(D)  $\text{CH}_2\text{=CH-CH}_2\text{-}$ ,

(E)  $\text{CH}_3\text{-CH=CH-CH}_2\text{-}$ ,

20

(F)  $(\text{CH}_3)_2\text{C=CH-CH}_2\text{-}$ ,

(G)  $\text{CH}_2\text{=CH-}$ ,

(H)  $\phi\text{-CH=CH-CH}_2\text{-}$ ,

(I)  $\phi\text{-CH}_2\text{-}$  optionally substituted on  $\phi$ - with one or two -Cl,  $C_1\text{-}C_4$  alkyl, -NO<sub>2</sub>, -CN, -CF<sub>3</sub>,

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(J) 9-fluorenylmethyl,

(K)  $(\text{Cl})_3\text{C-CH}_2\text{-}$ ,

(L) 2-trimethylsilylethyl,

(M)  $\phi\text{-CH}_2\text{-CH}_2\text{-}$ ,

(N) 1-adamantyl,

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(O)  $(\phi)_2\text{CH-}$ ,

(P)  $\text{CH}\equiv\text{C-C}(\text{CH}_3)_2\text{-}$

(Q) 2-furanylmethyl,

(R) isobornyl,

(S) -H;

35

(II)  $R_{\text{oxa}}$  is as defined above; with an (S)-3-carbon amino alcohol (V) where  $X_2$  is as defined above in the presence of a lithium cation and a base whose conjugate



acid has a  $pK_a$  of greater than about 8 to produce an (S)-oxazolidinone free amine of the formula (XIII)

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10 where  $R_{\text{oxa}}$  is as defined above, and

(2) acylating the (S)-oxazolidinone free amine (XIII) with an acylating agent selected from the group consisting of an acid anhydride of the formula  $O(\text{CO-R}_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  
15  $C_1\text{-C}_5$ .

50. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 49 where  $R_{\text{oxa}}$  is:

20 3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
3-fluoro-4-(4-morpholinyl)phenyl and  
3-fluoro-4-(4-hydroxyacetylpiperazinyl)phenyl.

51. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 49 where  $R_N$  is  $C_1$  alkyl.

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52. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 49 where  $X_1$  is -H.

53. A process for the production of an (S)- $R_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 49 where  $X_2$  is -Cl.

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